

selecting the test compound as an effective therapeutic drug candidate, if said compound exhibits a binding inhibitory activity that is at least 1/1000 as potent as an activity exhibited by a compound selected from the group consisting of:

*Cont.*  
N-(toluene-4-sulfonyl)-L-prolyl-L-4(4-methylpiperzin-1-ylcarbonyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-prolyl-L-4(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
*Sub D1*  
N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-N-methyl-L-alaninyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-[1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(N-*p*-toluenesulfonyl)prolyl-4-(piperazinoyloxy)phenylalanine,  
N-(N-*p*-toluenesulfonyl)sarcosyl-4-(N,N-dimethylcarbamyloxy)phenylalanine, and  
N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-[3-(N,N-dimethyl)propoxy]phenylalanine.

25. (New) The method of Claim 24, wherein said inflammatory condition includes increased neutrophil adhesion.

26. (New) The method of Claim 24, wherein said test compound is selected from a group of compounds that inhibit binding of alpha-4/beta-1 integrin to an alpha-4/beta-1 integrin ligand.

27. (New) The method of Claim 26, wherein said group of alpha-4/beta-1 integrin inhibitory compounds exhibit an inhibitory potency that is at least 1/1000 as high as an inhibitory potency exhibited by a compound selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4(4-methylpiperzin-1-ylcarbonyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-prolyl-L-4(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-N-methyl-L-alanyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-[1,1-dioxo-3-morpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(N-p-toluenesulfonyl)prolyl-4-(piperazinoyloxy)phenylalanine,  
N-(N-p-toluenesulfonyl)sarcosyl-4-(N,N-dimethylcarbamyloxy)phenylalanine, and  
N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-[3-(N,N-dimethyl)propoxy]phenylalanine.

28. (New) the method of Claim 27, wherein said inhibition of binding of alpha-4/beta-1 integrin is measured in a test assay that measures binding of said alpha-4/beta-1 integrin molecule to VCAM-1.

29. (New) The method of Claim 26, wherein said test compound is selected from a group of carbamyl compounds having the formula:  $R^1-SO_2-NR^2-CHR^3-Q-CHR^5-CO_2H$  wherein

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Cont.  
R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>12</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocyclic or a substituted heterocycle, R<sup>12</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

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30. (New) The method of Claim 24, wherein said alpha-9 integrin antagonist is selected from the group consisting of

N-(toluene-4-sulfonyl)-L-prolyl-L-4(4-methylpiperzin-1-ylcarbonyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-prolyl-L-4(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-N-methyl-L-alaninyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,  
N-(N-*p*-toluenesulfonyl)prolyl-4-(piperazinoyloxy)phenylalanine,  
N-(N-*p*-toluenesulfonyl)sarcosyl-4-(N,N-dimethylcarbamyloxy)phenylalanine, and  
N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-[3-(N,N-dimethyl)propoxy]phenylalanine.

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31. (New) A method of treating an inflammatory condition in mammalian subject, comprising administering to the subject a pharmaceutically effective dosage of an alpha-9 integrin antagonist compound.

32. (New) The method of Claim 31, wherein said inflammatory condition is characterized by increased neutrophil adhesion.

33. (New) The method of Claim 31, wherein said alpha-9 integrin antagonist compound is selected from a group of compounds which inhibit alpha-4/beta-1 integrin binding to an alpha-4/beta-1 integrin ligand.

34. (New) The method of Claim 31, wherein said alpha-9 integrin antagonist compound exhibits a potency in inhibiting binding between alpha-9 integrin and an alpha-9 integrin ligand that is at least 1/1000 as high as an inhibitory potency exhibited by a compound selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-prolyl-L-4(N,N-dimethylcarbamyloxy)phenylalanine,

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-N-methyl-L-alaninyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(N-p-toluenesulfonyl)prolyl-4-(piperazinoyloxy)phenylalanine,

N-(N-p-toluenesulfonyl)sarcosyl-4-(N,N-dimethylcarbamyloxy)phenylalanine, and

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-[3-(N,N-dimethyl)propoxy]phenylalanine.

35. (New) The method of Claim 31, wherein said compound is selected from the group consisting of carbamyl compounds having the formula:  $R^1-SO_2-NR^2-CHR^3-Q-CHR^5-CO_2H$

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wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of

-O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>12</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocyclic or a substituted heterocycle, R<sup>12</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

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**Conclude**

36. (New) The method of Claim 31, wherein said  $\alpha$ -9 integrin antagonist is selected from the group consisting of:

N-(toluene-4-sulfonyl)-L-prolyl-L-4(4-methylpiperzin-1-ylcarbonyloxy)phenylalanine,  $\alpha$ zin

N-(toluene-4-sulfonyl)-L-prolyl-L-4(N,N-dimethylcarbamyloxy)phenylalanine,

N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-N-methyl-L-alaninyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(N,N-dimethylcarbamyloxy)phenylalanine,

N-(N-*p*-toluenesulfonyl)prolyl-4-(piperazinoyloxy)phenylalanine,

N-(N-*p*-toluenesulfonyl)sarcosyl-4-(N,N-dimethylcarbamyloxy)phenylalanine, and

N-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-[3-(N,N-dimethyl)propoxy]phenylalanine.